10/522,955M Yong Chu 05/26/2009
~~10/522,595M~~ Yong Chu 05/26/2009

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 12:33:46 ON 26 MAY 2009
FILE 'REGISTRY' ENTERED AT 12:33:46 ON 26 MAY 2009
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	188.28	381.58

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	188.28	381.58

FILE 'REGISTRY' ENTERED AT 12:34:00 ON 26 MAY 2009
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3
DICTIONARY FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d his

(FILE 'HOME' ENTERED AT 11:43:00 ON 26 MAY 2009)

FILE 'REGISTRY' ENTERED AT 11:43:15 ON 26 MAY 2009
L1 STRUCTURE UPLOADED
L2 0 S L1

FILE 'REGISTRY' ENTERED AT 11:49:26 ON 26 MAY 2009

```
L3              STRUCTURE UPLOADED
L4            0 S L3
L5              STRUCTURE UPLOADED
L6            0 S L5
L7            0 S L3
L8            0 S L3 FULL

     FILE 'REGISTRY' ENTERED AT 12:24:08 ON 26 MAY 2009
L9              STRUCTURE UPLOADED
L10          32 S L9
L11        3240 S L9 FULL
                SAVE L11 YC10522955/A

     FILE 'REGISTRY' ENTERED AT 12:34:00 ON 26 MAY 2009

=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10522955\L10_05262009.str
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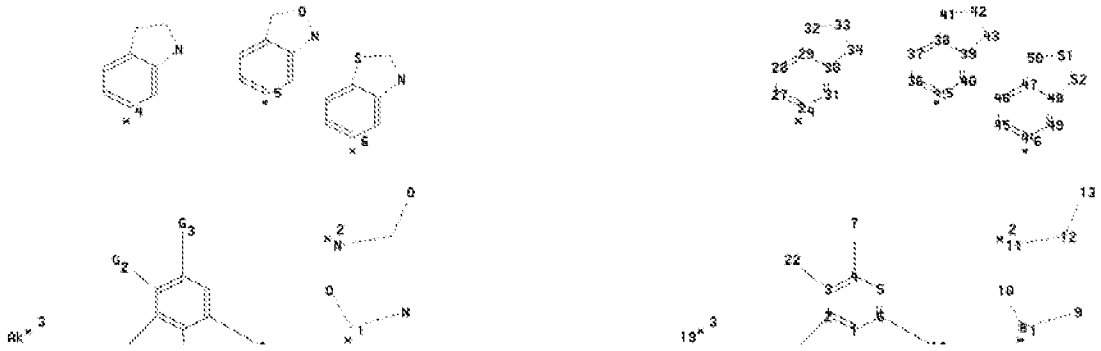


```
chain nodes :
7  8  9  10  11  12  13  18  19  22  23  25
ring nodes :
1  2  3  4  5  6  26  27  28  29  30  31  32  33  34  35  36  37  38  39  40  41
42  43  44  45  46  47  48  49  50  51  52
chain bonds :
1-25  2-23  3-22  4-7  6-18  8-9  8-10  11-12  12-13
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  26-27  26-31  27-28  28-29  29-30  29-32  30-31  30-
34
32-33  33-34  35-36  35-40  36-37  37-38  38-39  38-41  39-40  39-43  41-42  42-43
44-45  44-49
45-46  46-47  47-48  47-50  48-49  48-52  50-51  51-52
exact/norm bonds :
1-25  2-23  3-22  4-7  6-18  8-9  8-10  11-12  12-13  29-32  30-34  32-33  33-34
38-41  39-43  41-42  42-43  47-50  48-52  50-51  51-52
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  26-27  26-31  27-28  28-29  29-30  30-31  35-36  35-
40
36-37  37-38  38-39  39-40  44-45  44-49  45-46  46-47  47-48  48-49
isolated ring systems :
containing 26 : 35 : 44 :


G1:[*1],[*2]
```

G2:H,X,[*3]

G3:[*4],[*5],[*6]

Connectivity :
10:1 E exact C chain 13:1 E exact C chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 18:CLASS 19:CLASS 22:CLASS 23:CLASS 25:CLASS
26:Atom 27:Atom
28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom
37:Atom 38:Atom
39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom
48:Atom 49:Atom
50:Atom 51:Atom 52:Atom
Generic attributes :
19:
Saturation   : Saturated
Number of Carbon Atoms : less than 7

L12   STRUCTURE UPLOADED

=> d
L12 HAS NO ANSWERS
L12   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l12 sam sss sub=l11
SAMPLE SUBSET SEARCH INITIATED 12:34:54 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -   21 TO ITERATE

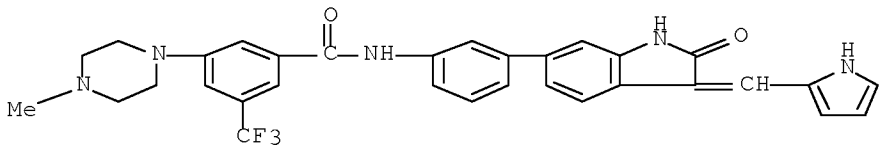
100.0% PROCESSED   21 ITERATIONS   2 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):   ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):   146 TO   694
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):   2 TO   124

L13   2 SEA SUB=L11 SSS SAM L12

=> d scan

L13 2 ANSWERS   REGISTRY COPYRIGHT 2009 ACS on STN
IN   Benzamide, N-[3-[2,3-dihydro-2-oxo-3-(1H-pyrrol-2-ylmethylene)-1H-indol-6-
     yl]phenyl]-3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)-
MF   C32 H28 F3 N5 O2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=>
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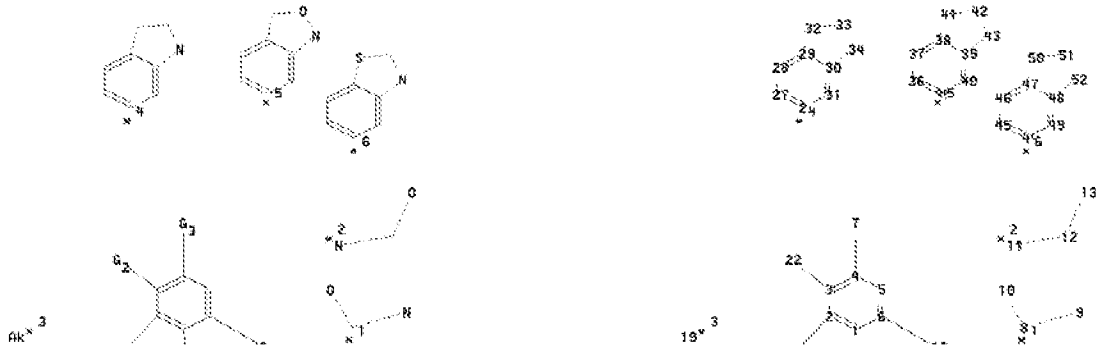


chain nodes :
7 8 9 10 11 12 13 18 19 22 23 25
ring nodes :
1 2 3 4 5 6 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40 41
42 43 44 45 46 47 48 49 50 51 52
chain bonds :
1-25 2-23 3-22 4-7 6-18 8-9 8-10 11-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 29-32 30-31 30-
34
32-33 33-34 35-36 35-40 36-37 37-38 38-39 38-41 39-40 39-43 41-42 42-43
44-45 44-49
45-46 46-47 47-48 47-50 48-49 48-52 50-51 51-52
exact/norm bonds :
1-25 2-23 3-22 4-7 6-18 8-9 8-10 11-12 12-13 29-32 30-34 32-33 33-34
38-41 39-43 41-42 42-43 47-50 48-52 50-51 51-52
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 35-36 35-
40
36-37 37-38 38-39 39-40 44-45 44-49 45-46 46-47 47-48 48-49
isolated ring systems :
containing 26 : 35 : 44 :

G1:[*1],[*2]

G2:H,X,[*3]

G3:[*4],[*5],[*6]

Connectivity :
10:1 E exact C chain 13:1 E exact C chain 32:3 X maximum RC ring/chain 33:3 X maximum RC ring/chain 41:3 X maximum RC ring/chain 51:3 X maximum RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 18:CLASS 19:CLASS 22:CLASS 23:CLASS 25:CLASS 26:Atom 27:Atom
28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom
39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom
50:Atom 51:Atom 52:Atom
Generic attributes :
19:
Saturation : Saturated
Number of Carbon Atoms : less than 7

L14 STRUCTURE UPLOADED

=> d
L14 HAS NO ANSWERS
L14 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l14 sam sss sub=l11
SAMPLE SUBSET SEARCH INITIATED 12:38:40 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 21 TO ITERATE

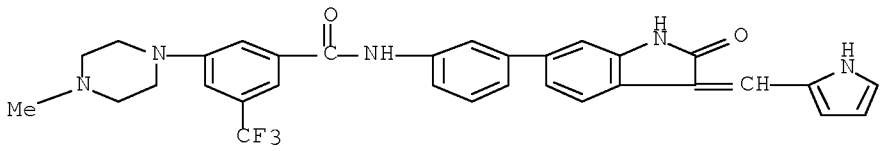
100.0% PROCESSED 21 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 146 TO 694
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 2 TO 124

L15 2 SEA SUB=L11 SSS SAM L14

=> d scan

L15 2 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-[3-[2,3-dihydro-2-oxo-3-(1H-pyrrol-2-ylmethylene)-1H-indol-6-yl]phenyl]-3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)-
MF C32 H28 F3 N5 O2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=>
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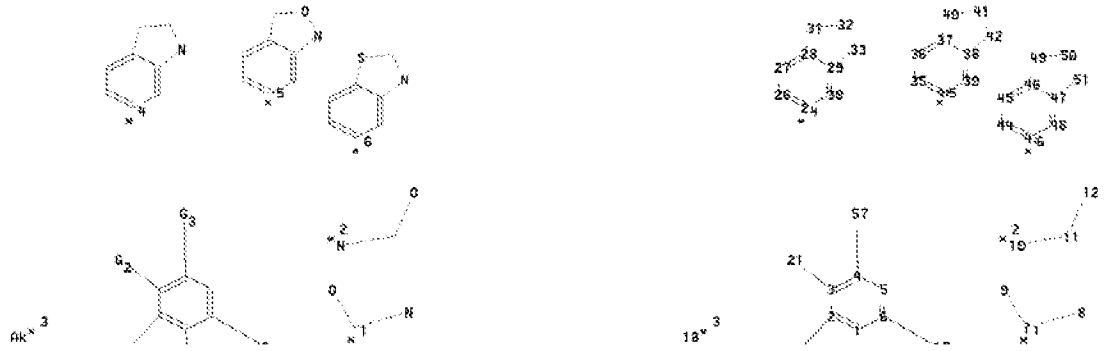


chain nodes :
7 8 9 10 11 12 17 18 21 22 24 57
ring nodes :
1 2 3 4 5 6 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40
41 42 43 44 45 46 47 48 49 50 51
chain bonds :
1-24 2-22 3-21 4-57 6-17 7-8 7-9 10-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 28-31 29-30 29-
33
31-32 32-33 34-35 34-39 35-36 36-37 37-38 37-40 38-39 38-42 40-41 41-42
43-44 43-48
44-45 45-46 46-47 46-49 47-48 47-51 49-50 50-51
exact/norm bonds :
1-24 2-22 3-21 4-57 6-17 7-8 7-9 10-11 11-12 28-31 29-33 31-32 32-33
37-40 38-42 40-41 41-42 46-49 47-51 49-50 50-51
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 29-30 34-35 34-
39
35-36 36-37 37-38 38-39 43-44 43-48 44-45 45-46 46-47 47-48
isolated ring systems :
containing 25 : 34 : 43 :

G1:[*1],[*2]

G2:H,X,[*3]

```
G3:[*2],[*4],[*5],[*6]

Connectivity :
9:1 E exact C  chain  12:1 E exact C  chain  31:3 X maximum RC ring/chain  32:3 X
maximum RC ring/chain  40:3 X maximum RC ring/chain  50:3 X maximum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS  12:CLASS  17:CLASS  18:CLASS  21:CLASS  22:CLASS  24:CLASS  25:Atom
26:Atom  27:Atom
28:Atom  29:Atom  30:Atom  31:Atom  32:Atom  33:Atom  34:Atom  35:Atom  36:Atom
37:Atom  38:Atom
39:Atom  40:Atom  41:Atom  42:Atom  43:Atom  44:Atom  45:Atom  46:Atom  47:Atom
48:Atom  49:Atom
50:Atom  51:Atom  57:CLASS
Generic attributes :
18:
Saturation             : Saturated
Number of Carbon Atoms : less than 7




L16     STRUCTURE UPLOADED

=> d
L16 HAS NO ANSWERS
L16             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l16
SAMPLE SEARCH INITIATED 12:41:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   372462 TO ITERATE

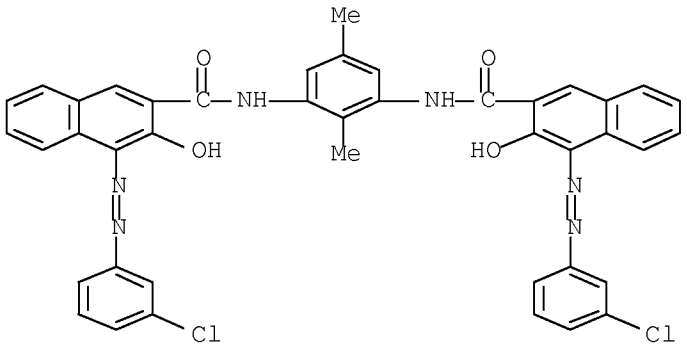
  0.5% PROCESSED     2000 ITERATIONS                                 31 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      7413901 TO  7484579
PROJECTED ANSWERS:          110907 TO   120019

L17          31 SEA SSS SAM L16

=> d scan

L17  31 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   2-Naphthalenecarboxamide, N,N'-(2,5-dimethyl-1,3-phenylene)bis[4-[(3-
     chlorophenyl)azo]-3-hydroxy- (9CI)
MF   C42 H30 Cl2 N6 O4
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=>
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chain nodes :
7 8 9 10 11 12 17 18 21 22 24 57
ring nodes :
1 2 3 4 5 6 25 26 27 28 29 30 31 32 33 34 35 36 37 38 39 40
41 42 43 44 45 46 47 48 49 50 51
chain bonds :
1-24 2-22 3-21 4-57 6-17 7-8 7-9 10-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 28-31 29-30 29-
33
31-32 32-33 34-35 34-39 35-36 36-37 37-38 37-40 38-39 38-42 40-41 41-42
43-44 43-48
44-45 45-46 46-47 46-49 47-48 47-51 49-50 50-51
exact/norm bonds :
1-24 2-22 3-21 4-57 6-17 7-8 7-9 10-11 11-12 28-31 29-33 31-32 32-33
37-40 38-42 40-41 41-42 46-49 47-51 49-50 50-51
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 29-30 34-35 34-

```
39
35-36  36-37  37-38  38-39  43-44  43-48  44-45  45-46  46-47  47-48
isolated ring systems :
containing 25 : 34 : 43 :


G1:[*1],[*2]

G2:H,X,[*3]

G3:[*4],[*5],[*6]

Connectivity :
9:1 E exact C  chain  12:1 E exact C  chain  31:3 X maximum RC ring/chain  32:3 X
maximum RC ring/chain  40:3 X maximum RC ring/chain  50:3 X maximum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
11:CLASS  12:CLASS  17:CLASS  18:CLASS  21:CLASS  22:CLASS  24:CLASS  25:Atom
26:Atom  27:Atom
28:Atom  29:Atom  30:Atom  31:Atom  32:Atom  33:Atom  34:Atom  35:Atom  36:Atom
37:Atom  38:Atom
39:Atom  40:Atom  41:Atom  42:Atom  43:Atom  44:Atom  45:Atom  46:Atom  47:Atom
48:Atom  49:Atom
50:Atom  51:Atom  57:CLASS
Generic attributes :
18:
Saturation             : Saturated
Number of Carbon Atoms : less than 7




L18     STRUCTURE UPLOADED

=> d
L18 HAS NO ANSWERS
L18             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l18 sam sss sub=l11
SAMPLE SUBSET SEARCH INITIATED 12:46:39 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -       21 TO ITERATE

100.0% PROCESSED       21 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

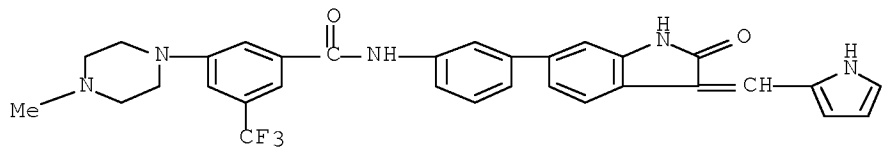
PROJECTIONS (WITHIN SPECIFIED SUBSET):           ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):          146 TO      694
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):               2 TO      124

L19           2 SEA SUB=L11 SSS SAM L18

=> d scan

L19  2 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
```

IN Benzamide, N-[3-[2,3-dihydro-2-oxo-3-(1H-pyrrol-2-ylmethylene)-1H-indol-6-yl]phenyl]-3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)-
MF C32 H28 F3 N5 O2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l18 full sss sub=l11
FULL SUBSET SEARCH INITIATED 12:47:33 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 414 TO ITERATE

100.0% PROCESSED 414 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L20 20 SEA SUB=L11 SSS FUL L18

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 55.04 436.62

FILE 'CAPLUS' ENTERED AT 12:47:40 ON 26 MAY 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 26 May 2009 VOL 150 ISS 22
FILE LAST UPDATED: 25 May 2009 (20090525/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate

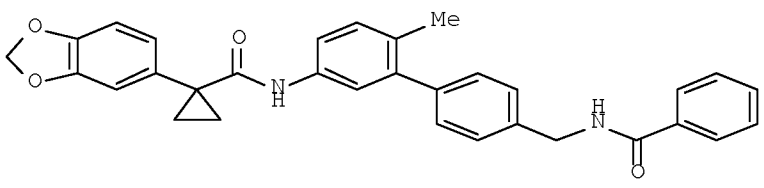
=> s l20
L21 16 L20

=> d ibib abs hitstr tot

L21 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:20677 CAPLUS Full-text
DOCUMENT NUMBER: 150:97912
TITLE: Cycloalkylcarboxamides and related compounds as modulators of ATP-binding cassette transporters and their preparation, pharmaceutical compositions and use in the treatment of cystic fibrosis
INVENTOR(S): Hadida Ruah, Sara; Miller, Mark; Grootenhuis, Peter; Bear, Brian; McCartney, Jason
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 254pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2009006315	A1	20090108	WO 2008-US68609		20080627
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080009524	A1	20080110	US 2007-824606		20070629
PRIORITY APPLN. INFO.:			US 2007-824606	A	20070629
			US 2005-754558P	P	20051228
			US 2006-802580P	P	20060522
			US 2006-647092	A2	20061228

OTHER SOURCE(S): MARPAT 150:97912
GI



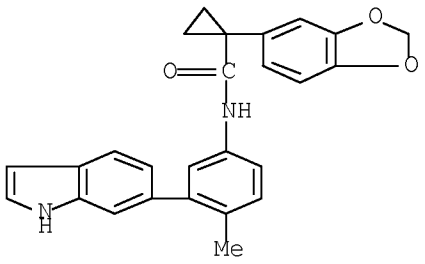
I

AB Compds. and pharmaceutically acceptable compns. thereof, are useful as modulators of ATP -Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The invention also relates to methods of treating ABC transporter mediated diseases using these cycloalkylcarboxamide compds. Example compd. I was prepd. by a general procedure (procedure given). All the invention compds. were evaluated for their ATP-binding cassette transporter modulatory activity (some data given).

IT 945238-44-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of cycloalkylcarboxamides and related compds. as modulators of ATP-binding cassette transporters useful in the treatment of cystic fibrosis)

RN 945238-44-8 CAPLUS

CN Cyclopropanecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-[3-(1H-indol-6-yl)-4-methylphenyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1508268 CAPLUS Full-text
DOCUMENT NUMBER: 150:55990
TITLE: Preparation of aryl/hetarylamides as modulators of the EP2 receptor
INVENTOR(S): Buchmann, Bernd; Braeuer, Nico; Koppitz, Marcus; Peters, Olaf; Eis, Knut; Ter Laak, Antonius; Lindenthal, Bernhard; Langer, Gernot; Wintermantel, Tim
PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 204pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008152099	A2	20081218	WO 2008-EP57396	20080612
WO 2008152099	A3	20090409		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

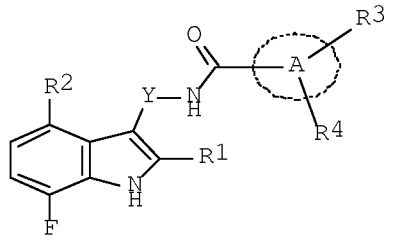
EP 2002834 A1 20081217 EP 2007-90121 20070613

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

PRIORITY APPLN. INFO.: EP 2007-90121 A 20070613

OTHER SOURCE(S): MARPAT 150:55990

GI



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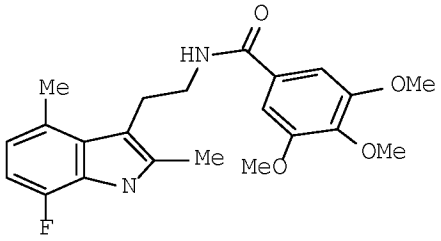


II

AB The title compds. I [A = (un)substituted (hetero)aryl; R1 = H, (un)substituted alkyl; R2 = H, halo, CN, etc.; R3 = H, halo, NH2, etc.; R4 = H, halo, NH2, etc.; or R3 and R4 are either in ortho, meta position or meta, para position relative to one another and together have the meaning OC(O)S, SC(O)O, CH2C(O)O, etc.; Y = (CH2)n (wherein n = 1-3); with an exclusion], useful for the manuf. of pharmaceutical compns. for the treatment of disorders and indications connected with the EP2 receptor, were prepd. Thus, amidation of 2-(7-fluoro-2,4-dimethyl-1H-indol-3- yl)ethylamine hydrochloride with 3,4,5-trimethoxybenzoyl chloride afforded II which showed IC50 of 6.8 .mu.M when tested in the cAMP antagonism assay.

IT 1092957-90-8P 1092957-92-0P

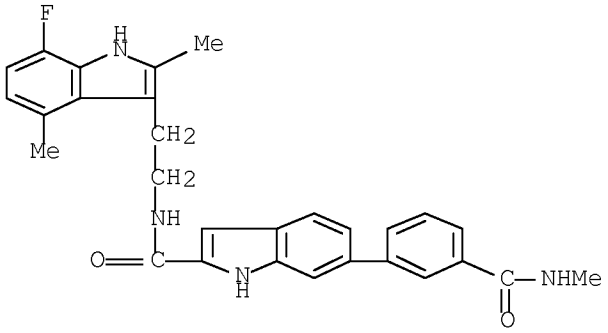
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of aryl/hetarylamides as modulators of the EP2

receptor)

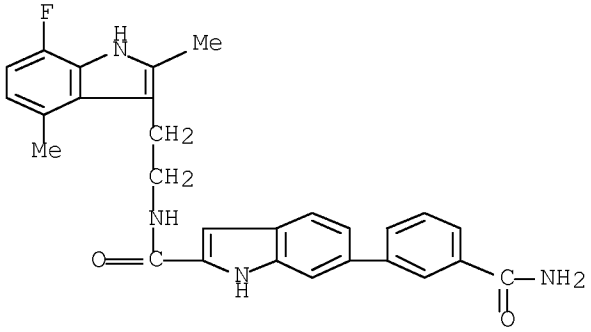
RN 1092957-90-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]-6-[3-[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



RN 1092957-92-0 CAPLUS

CN 1H-Indole-2-carboxamide, 6-[3-(aminocarbonyl)phenyl]-N-[2-(7-fluoro-2,4-dimethyl-1H-indol-3-yl)ethyl]- (CA INDEX NAME)



L21 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1012640 CAPLUS Full-text

DOCUMENT NUMBER: 149:288686

TITLE: Indolines as functionally selective alpha2C adrenoreceptor agonists and their preparation

INVENTOR(S): De Lera Ruiz, Manuel; McCormick, Kevin D.; Boyce, Christopher W.; Aslanian, Robert G.; Yu, Younong; Mangiaracina, Pietro; Zheng, Junying; Berlin, Michael Y.; Ciesla, Stephanie L.; Huang, Chia-Yu; Liang, Bo

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 145pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

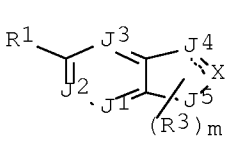
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100456	A2	20080821	WO 2008-US1765	20080211
WO 2008100456	A3	20081106		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-901045P P 20070213
OTHER SOURCE(S): MARPAT 149:288686

GI



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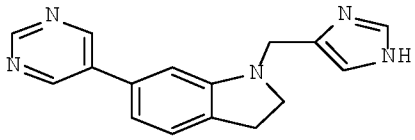


II

AB The invention provides a class of biaryl compds. of formula I as inhibitors of .alpha.2C adrenergic receptor agonists, methods of prepg. such compds., pharmaceutical compns. contg. one or more such compds., methods of prepg. pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more conditions assocd. with the .alpha.2C adrenergic receptors using such compds. or pharmaceutical compns. Compds. of formula I wherein J1, J2 and J3 is N, NO and CR2; J4 is (un)substituted alkylidene, (un)substituted alkenylmethylene, (un)substituted alkyl, etc.; J5 is CR6', NR6', O and S; R1 is (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted (hetero)aryl, etc.; R2 is H, OH, halo, CN, NO2, alkyl, alkoxy, etc.; R3 is H, halo, =O, alkyl, alkoxy, alkenyl, etc.; R6' is H, alkyl, alkoxy, alkenyl, alkynyl, etc.; X is C1-3 alkyl, and C1-3 alkenyl; m is 0, 1, 2, 3, 4, and 5; and their pharmaceutically acceptable salts, esters, solvates and prodrugs thereof, are claimed. Example compd. II was prepd. by Suzuki cross-coupling reaction of N-Boc-6-bromoindoline with pyrimidine-5-boronic acid the resulting N-Boc-6-(pyrimidin-5-yl)indoline underwent deprotection to give 6-(pyrimidin-5-yl)indoline, which underwent reductive alkylation with imidazole-4-carboxaldehyde to give compd. II. All the invention compds. were evaluated for their .alpha.2C adrenoreceptor agonistic activity (some data given).

IT 1049001-16-2P

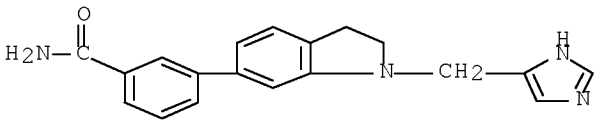
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of arylindolines and their carbocyclic and heterocyclic analogs as .alpha.2C adrenergic receptor agonists for

treatment and prevention of .alpha.2C adrenergic receptor-assocd. diseases)

RN 1049001-16-2 CAPLUS

CN Benzamide, 3-[2,3-dihydro-1-(1H-imidazol-5-ylmethyl)-1H-indol-6-yl]- (CA INDEX NAME)



L21 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1006368 CAPLUS Full-text

DOCUMENT NUMBER: 149:307661

TITLE: Novel indole derivatives as inhibitors hepatitis C virus replication and their preparation and use in the treatment of hepatitis C infection

INVENTOR(S): Beigelman, Leonid; Buckman, Brad; Wang, Guangyi; Matulic-Adamic, Jasenka; Stoycheva, Antitsa Dimitrova; Andrews, Steven W.; Misialek, Shawn Maurice; Rajagopalan, P. T. Ravi; Fryer, Andrew M.; Gunawardana, Indrani; Haas, Julia; Huang, Lily; Madduru, Machender R.; Zhang, Gan; Kossen, Karl; Serebryany, Vladimir

PATENT ASSIGNEE(S): Intermune, Inc., USA

SOURCE: PCT Int. Appl., 397pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

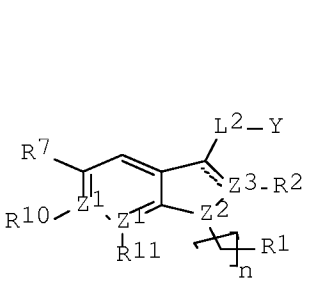
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100867	A2	20080821	WO 2008-US53617	20080211
WO 2008100867	A3	20090108		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090047246	A1	20090219	US 2008-29399	20080211

PRIORITY APPLN. INFO.: US 2007-889433P P 20070212

OTHER SOURCE(S): MARPAT 149:307661

GI



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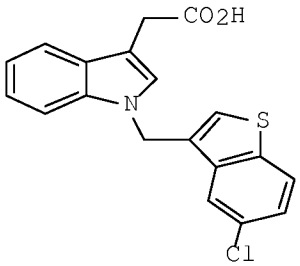


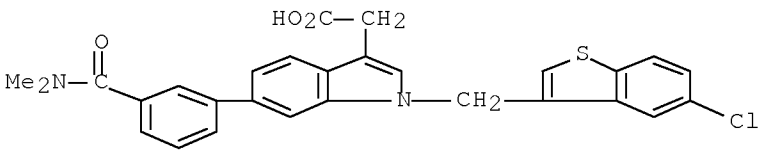
II

AB The embodiments provide compds. of the general formula I, as well as compns., including pharmaceutical compns., comprising a subject compd. The embodiments further provide treatment methods, including methods of treating a hepatitis C virus infection, the methods generally involving administering to an individual in need thereof an effective amt. of a subject compd. or compn. Compds. of formula I wherein n is 0 to 3; R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, etc.; L2 is (un)substituted methylene, (un)substituted ethylene, (un)substituted ethenylene and absent; Y is H, halo, ethynyl, CHO, CN, CO2H, etc.; R7, R10, R11 are independently H, halo, OH and deriv., CH=CH-CO2H and derivs., etc.; each Z1 is independently C and N; Z2 is CH, N, O and S; Z3 is C and N; R2 is H, CO2H and derivs., CONH2 and derivs., (un)substituted alkyl, etc.; dashed bond is single and double bond; and their pharmaceutically acceptable salts, solvates, polymorphs, and prodrugs thereof, are claimed. Example compd. II was prepd. by N-alkylation of indole-3-acetic acid with 3-(bromomethyl)-5-chlorobenzothiophene. All the invention compds. were evaluated for their hepatitis C virus replication inhibitory activity.. From the assay, it was detd. that compd. II exhibited IC50 value in the range of 10 - 50 .mu.M.

IT 1048356-68-8P 1048356-72-4P 1048356-76-8P
1048356-77-9P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of indole derivs. as inhibitors hepatitis C virus replication useful in the treatment of hepatitis C infection)

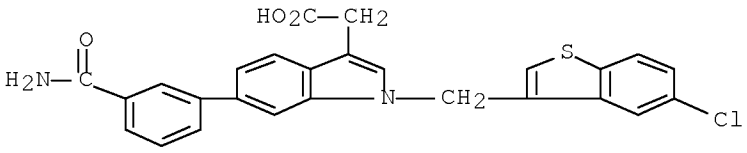
RN 1048356-68-8 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[(5-chlorobenzo[b]thien-3-yl)methyl]-6-[3-[(dimethylamino)carbonyl]phenyl]- (CA INDEX NAME)

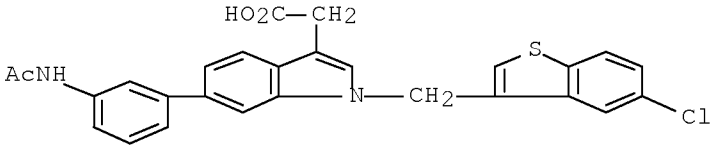


RN 1048356-72-4 CAPLUS

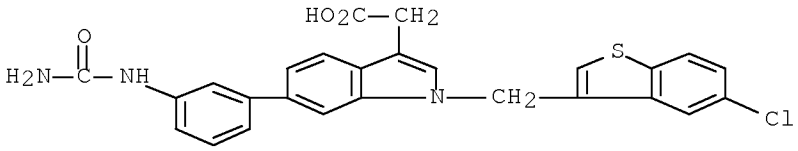
CN 1H-Indole-3-acetic acid, 6-[3-(aminocarbonyl)phenyl]-1-[(5-chlorobenzo[b]thien-3-yl)methyl]- (CA INDEX NAME)



RN 1048356-76-8 CAPLUS
CN 1H-Indole-3-acetic acid, 6-[3-(acetylamino)phenyl]-1-[(5-chlorobenzo[b]thien-3-yl)methyl]- (CA INDEX NAME)



RN 1048356-77-9 CAPLUS
CN 1H-Indole-3-acetic acid, 6-[3-[(aminocarbonyl)amino]phenyl]-1-[(5-chlorobenzo[b]thien-3-yl)methyl]- (CA INDEX NAME)



L21 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:888933 CAPLUS Full-text
DOCUMENT NUMBER: 149:176178
TITLE: Preparation of novel indole derivatives having inhibitory activity on I.kappa.b kinase .beta.
INVENTOR(S): Enomoto, Hiroshi; Kawashima, Kenji; Kudou, Kazuhiro; Yamamoto, Minoru; Murai, Masaaki; Inaba, Takaaki; Ishizaka, Noriko
PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 185pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087933	A1	20080724	WO 2008-JP50342	20080115

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,

FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

JP 2008195711 A 20080828 JP 2008-5854 20080115
PRIORITY APPLN. INFO.: JP 2007-5554 A 20070115
OTHER SOURCE(S): MARPAT 149:176178
GI

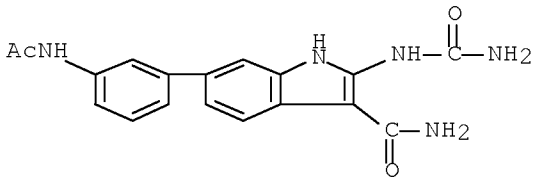
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, HO, each (un)substituted lower alkyl, aryl, or lower alkoxy; R2 = halo, each lower alkyl, lower alkenyl, or lower alkynyl, X1-COR3, X1-CO2R3, X1-CONRaRb, X1-SR3, X1-NRaRb, X1-NHCOR3, X1-CN, X1-N3, Q; X1 = a single bond, each (un)substituted lower alkylene,lower alkenylene, or alkynylene; R3 = H, each (un)substituted lower alkyl or lower alkenyl; Ra, Rb = H, each (un)substituted lower alkyl, lower alkenyl, lower alkoxy, lower alkylsulfonyl, or arylsulfonyl; X2 = groups listed in X1, X3-CO, X3-CONH, X3-S, X3-NH, X3-NHCO, X3-NHCONH; X3 = groups listed in X1; ring A = carbocyclic or heterocyclic ring; R3 = halo, (un)substituted lower alkyl, X4-OR5, X4-OCOR5, X4-COR5, X4-CO2R5, X4-CONRcRd, X4-SR5, X4-SOR5, X4-SONRcRd, X4-SO2R5, X4-SO2NRcRd, etc.; X4 = a single bond, each (un)substituted lower alkylene or lower cycloalkylene; R5 = H, each (un)substituted lower alkyl, lower cycloalkyl, or aryl; Rc, Rd = groups listed in R5, (un)substituted heterocyclyl; or Rc and Rd together form (un)substituted satd. monocyclic heterocyclic ring; m, n = an integer of 0-4] or salts thereof were prepd. These compds. have an inhibitory activity on IKK.beta. and are therefore useful as a prophylactic and/or therapeutic agent for a disease assocd. with IKK.beta. including inflammatory disease, autoimmune disease, allergic disease, infection, degenerative disease, vascular disease, nerve or sensory disease, endocrine or metabolic disease, tumor, congenital disease, trauma, rejection after organ transplant, age-related macular degeneration, diabetic retinopathy, diabetic macular edema, keratitis, conjunctivitis, uveitis, glaucoma, or articular rheumatism. Thus, 0.10 mL trichloroacetyl isocyanate was added to a soln. of 180 mg 2-amino-6-bromo-1-hydroxyindole-3-carboxamide in 5 mL MeCN and stirred at room temp. for 5 h to give 2-aminocarbonylamino-6-bromo-1-hydroxyindole-3- carboxamide (II). II and 2-aminocarbonylamino-6-(3-methylsulfonylaminophenyl)indole-3-carboxamide (III) at 1 .mu.M inhibited I.kappa.b kinase .beta. (IKK.beta.) by 86 and 100%, resp.

IT 1040167-54-1P, 2-[(Aminocarbonyl)amino]-6-(3-acetylaminophenyl)indole-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel indole derivs. as inhibitors of I.kappa.b kinase .beta.)

RN 1040167-54-1 CAPLUS

CN 1H-Indole-3-carboxamide, 6-[3-(acetylamino)phenyl]-2-[(aminocarbonyl)amino]- (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:71691 CAPLUS Full-text
DOCUMENT NUMBER: 148:168468
TITLE: Trifluoromethyl-substituted benzamides as Eph receptor modulators, their preparation, pharmaceutical compositions, and use in the treatment of neurological disorders
INVENTOR(S): Sivasankaran, Rajeev; Zimmermann, Kaspar
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 64pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008008821	A2	20080117	WO 2007-US73238	20070711
WO 2008008821	A3	20080228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007272533	A1	20080117	AU 2007-272533	20070711
CA 2657027	A1	20080117	CA 2007-2657027	20070711
EP 2043638	A2	20090408	EP 2007-799475	20070711
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
IN 2008DN10737	A	20090313	IN 2008-DN10737	20081229
MX 2009000374	A	20090127	MX 2009-374	20090109
KR 2009029261	A	20090320	KR 2009-700577	20090112
PRIORITY APPLN. INFO.:			US 2006-807210P P	20060713
			WO 2007-US73238 W	20070711

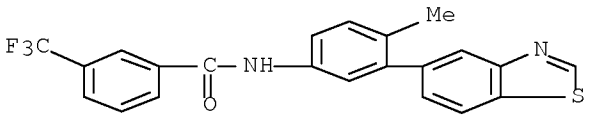
OTHER SOURCE(S): MARPAT 148:168468
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

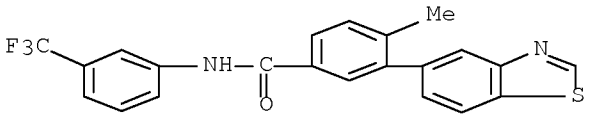
AB The invention relates to trifluoromethyl-substituted benzamide compds. of formula I, which are Eph receptor modulators. In compds. I, R1 is H or NR5R6; R2 is H or -CH2NR5R6; R3 is halo or C1-7 alkyl; R4 is isoquinolinyl, 3-aminoisoquinolinyl, quinazolinyl, 2-aminoquinazolinyl, phthalazinyl, benzothiazolyl, or (un)substituted indazolyl; R5 and R6 are independently alkyl, or R5 and R6, together with the nitrogen atom to which they are attached, form an (un)substituted 5- to 7-membered heterocyclic ring; A is -C(O)NH- or -NHC(O)-; Y is -S- or -CH=CH-; and Z is CH or N. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. of formula I and a pharmaceutically acceptable carrier, optionally in combination with an agent capable of blocking myelin inhibitors, myelin-assocd. glycoprotein, or oligodendrocyte-myelin glycoprotein, as well as to the use of the compns. for modulating the activity of an Eph receptor in a cell, stimulating neural regeneration, and reversing neuronal degeneration. Amidation of 3-trifluoromethylbenzoyl chloride with 3-bromo-4-methylaniline followed by borination with bis(pinacolato)diboron resulted in the formation of dioxaborolane II, which underwent Suzuki coupling with isoquinolin-7-yl trifluoromethanesulfonate (prepn. from 7-isoquinolinol is given) to give benzamide III. The compds. of the invention, e.g., III, are modulators of Eph receptors (no data).

IT 876322-39-3P, N-(3-Benzothiazol-5-yl-4-methylphenyl)-3-trifluoromethylbenzamide 876322-40-6P, 3-Benzothiazol-5-yl-4-methyl-N-(3-trifluoromethylphenyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of trifluoromethyl-substituted benzamides as Eph receptor modulators)

RN 876322-39-3 CAPLUS
CN Benzamide, N-[3-(5-benzothiazolyl)-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 876322-40-6 CAPLUS
CN Benzamide, 3-(5-benzothiazolyl)-4-methyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



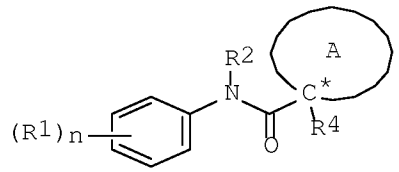
L21 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:43636 CAPLUS Full-text
DOCUMENT NUMBER: 148:121398
TITLE: Cycloalkylcarboxamides and related compounds as modulators of ATP-binding cassette transporters and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Hadida Ruah, Sara S.; Miller, Mark T.; Bear, Brian; McCartney, Jason; Grootenhuis, Peter D. J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 422 pp., Cont.-in-part of U.S. Ser. No. 647,092.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080009524	A1	20080110	US 2007-824606	20070629
US 20080044355	A1	20080221	US 2006-647092	20061228
WO 2009006315	A1	20090108	WO 2008-US68609	20080627

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:		
US 2005-754558P	P	20051228
US 2006-802580P	P	20060522
US 2006-647092	A2	20061228
US 2007-824606	A	20070629

OTHER SOURCE(S): MARPAT 148:121398
GI



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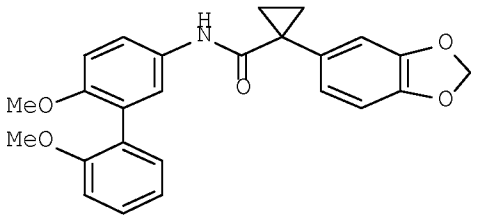


II

AB Compds. of formula I and pharmaceutically acceptable compns. thereof, are useful as modulators of ATP -Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The invention also relates to methods of treating ABC transporter mediated diseases using compds. of formula I. Compds. of formula I wherein each R1 is independently (un)substituted C1-6 aliph., (un)substituted (hetero)aryl, (un)substituted C3-10 cycloaliph. and (un)substituted 4- to 10-membered heterocycloaliph., carboxy, amido, amino, halo and OH provided that at least one of R1 is (un)substituted (hetero)aryl attached to the 3- or 4-position of the Ph ring; R2 is H, (un)substituted C1-6 aliph., (un)substituted C3-6 cycloaliph., (un)substituted Ph, and (un)substituted heteroaryl; Ring A is (un)substituted cycloaliph., and (un)substituted heterocycloaliph. where the atoms of ring A adjacent to C* are carbon atoms; R4 is (un)substituted (hetero)aryl; n is 1, 2, 3, 4, and 5; and their pharmaceutically acceptable salts thereof, are claimed. Example compd. II was prepd. by a general procedure (procedure given). All the invention compds. were evaluated for their ATP-binding cassette transporter modulatory activity (some data given).

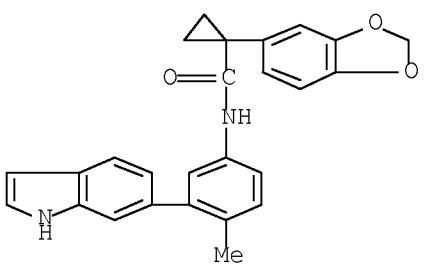
IT 945238-44-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of cycloalkylcarboxamides and related compds. as modulators of ATP-binding cassette transporters)

RN 945238-44-8 CAPLUS

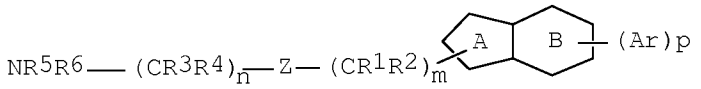
CN Cyclopropanecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-[3-(1H-indol-6-yl)-4-methylphenyl]- (CA INDEX NAME)



L21 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1146647 CAPLUS Full-text
DOCUMENT NUMBER: 147:448636
TITLE: Preparation of indoles, indazoles, benzimidazoles and their analogs as chemokine receptor CXCR4 and CCR7 inhibitors
INVENTOR(S): Thomas, William D.; Leleti, Manmohan Reddy; Pennell, Andrew M. K.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 142pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115231	A2	20071011	WO 2007-US65729	20070330
WO 2007115231	A3	20080717		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070275965	A1	20071129	US 2007-731695	20070330

PRIORITY APPLN. INFO.: US 2006-787925P P 20060330
OTHER SOURCE(S): MARPAT 147:448636
GI



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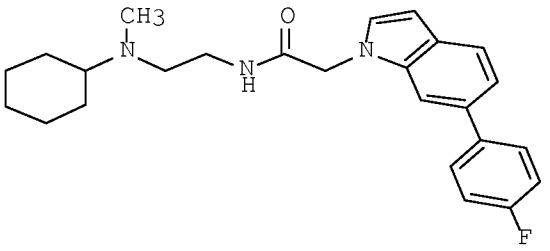


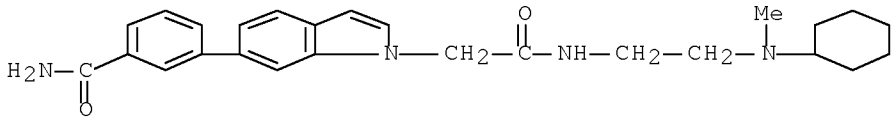
II

AB Title compds. I [wherein R1 - R4 independently = H, halo, alkyl, etc.; R5, R6 independently = H, alkyl, cycloalkyl, etc.; Z = C(O), C(O)O, CONH, etc.; m, n = 1-6; ring A = (un)substituted fused 5-membered heteroaryl or heterocycloalkyl; ring B = (un)substituted fused 6-membered (hetero)aryl or (hetero)cycloalkyl; Ar = (un)substituted (hetero)aryl; p = 0-1] and pharmaceutically acceptable salts, hydrates and N-oxides thereof, which can inhibit the binding of the SDF-1 chemokine to the chemokine receptor CXCR4 and/or the binding of the SDF-1 or I-TAC chemokines to the chemokine receptor CCXCKR2 (CXCR7), were prepd. For instance, II was synthesized and had IC50 < 1 .mu.M for both CXCR4 and CXCR7 receptors in chemotaxis or binding assays. The invented compds. and their pharmaceutical compns. are useful for the treatment of CXCR4-mediated diseases or conditions.

IT 952187-17-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indoles, indazoles, benzimidazoles and their analogs as chemokine receptor CXCR4 and CCR7 inhibitors)

RN 952187-17-6 CAPLUS

CN 1H-Indole-1-acetamide, 6-[3-(aminocarbonyl)phenyl]-N-[2-(cyclohexylmethylamino)ethyl]- (CA INDEX NAME)



L21 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:846121 CAPLUS Full-text

DOCUMENT NUMBER: 147:211534

TITLE: Cycloalkylcarboxamides and related compounds as modulators of ATP-binding cassette transporters and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ruah, Sara S. Hadida; Miller, Mark T.; Bear, Brian; McCartney, Jason; Grootenhuis, Peter D. J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007087066	A2	20070802	WO 2006-US49412	20061228
WO 2007087066	A3	20071025		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,

RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006336504	A1	20070802	AU 2006-336504		20061228
CA 2635760	A1	20070802	CA 2006-2635760		20061228
EP 2016065	A2	20090121	EP 2006-850405		20061228
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IN 2008KN02676	A	20090123	IN 2008-KN2676		20080702
CN 101395147	A	20090325	CN 2006-80053354		20080825
PRIORITY APPLN. INFO.:			US 2005-754558P	P	20051228
			US 2006-802580P	P	20060522
			WO 2006-US49412	W	20061228

OTHER SOURCE(S): MARPAT 147:211534

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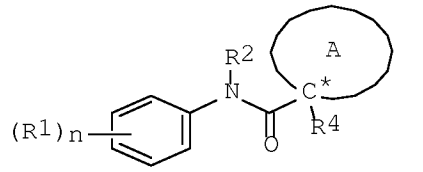

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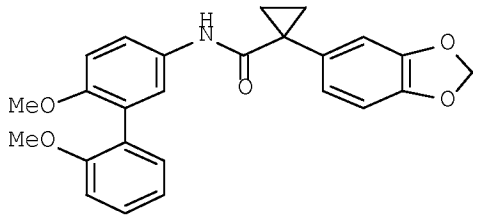


II

AB Compds. of formula I and pharmaceutically acceptable compns. thereof, are useful as modulators of ATP -Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The invention also relates to methods of treating ABC transporter mediated diseases using compds. of formula I. Compds. of formula I wherein each R1 is independently (un)substituted C1-6 aliph., (un)substituted (hetero)aryl, (un)substituted C3-10 cycloaliph. and (un)substituted 4- to 10-membered heterocycloaliph., carboxy, amido, amino, halo and OH provided that at least one of R1 is (un)substituted (hetero)aryl attached to the 3- or 4-position of the Ph ring; R2 is H, (un)substituted C1-6 aliph., (un)substituted C3-6 cycloaliph., (un)substituted Ph, and (un)substituted heteroaryl; Ring A is (un)substituted cycloaliph., and (un)substituted heterocycloaliph. where the atoms of ring A adjacent to C* are carbon atoms; R4 is (un)substituted (hetero)aryl; n is 1, 2, 3, 4, and 5; and their pharmaceutically acceptable salts thereof, are claimed. Example compd. II was prepd. by a general procedure (procedure given). All the invention

compds. were evaluated for their ATP-binding cassette transporter modulatory activity (some data given).

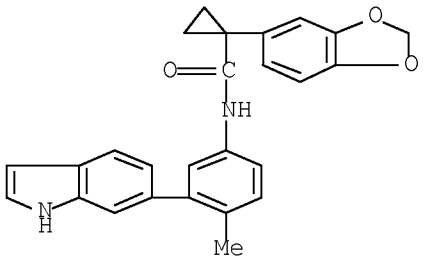
IT 945238-44-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of cycloalkylcarboxamides and related compds. as modulators of ATP-binding cassette transporters)

RN 945238-44-8 CAPLUS

CN Cyclopropanecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-[3-(1H-indol-6-yl)-4-methylphenyl]- (CA INDEX NAME)



L21 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:670446 CAPLUS Full-text

DOCUMENT NUMBER: 147:95910

TITLE: Preparation of proline amides for treating Flaviviridae family virus infection

INVENTOR(S): Schmitz, Franz Ulrich; Roberts, Christopher Don; Abadi, Ali Dehghani Mohammad; Griffith, Ronald Conrad; Leivers, Martin Robert

PATENT ASSIGNEE(S): Genelabs Technologies, Inc., USA

SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070556	A2	20070621	WO 2006-US47503	20061212
WO 2007070556	A3	20070830		

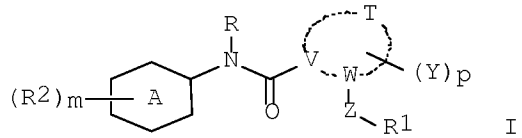
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006326494	A1	20070621	AU 2006-326494		20061212
CA 2633541	A1	20070621	CA 2006-2633541		20061212
US 20070265262	A1	20071115	US 2006-609854		20061212
EP 1976829	A2	20081008	EP 2006-845331		20061212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009519342	T	20090514	JP 2008-545759		20061212
MX 2008007541	A	20080626	MX 2008-7541		20080611
CN 101331116	A	20081224	CN 2006-80046757		20080612
IN 2008KN02643	A	20090130	IN 2008-KN2643		20080630
KR 2008075909	A	20080819	KR 2008-716547		20080708
PRIORITY APPLN. INFO.:			US 2005-749771P	P	20051212
			WO 2006-US47503	W	20061212

OTHER SOURCE(S): MARPAT 147:95910

GI



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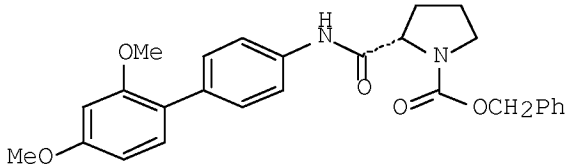


II

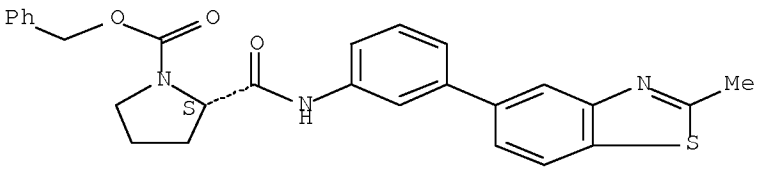
AB Title compds. I [wherein A = (un)substituted and optionally fused (N-hetero)aryl; R2 independently = (un)substituted alkyl, alkoxy, aryl, etc.; m = 1-3; R = H, (un)substituted alkyl or cycloalkyl; T is (hetero)alkylene and forms a ring with V and W; V and W are CH and N, at least of them being CH; Y = halo, oxo, OH or alkoxy; p = 0-2; Z = C(O), C(S) or SO2; R1 = (un)substituted amino, alkyl, alkoxy, etc., with limitations] and stereoisomers, tautomers, or pharmaceutically acceptable salts thereof, which are useful for treating or preventing a viral infection mediated at least in part by a virus in the Flaviviridae family of viruses, were prepd. For instance, coupling of (S)-2-[(4-iodophenyl)carbamoyl]pyrrolidine-1-carboxylic acid benzyl ester with 2,4-dimethoxyphenylboronic acid gave proline amide II. This product showed 87.85% inhibition of hepatitis C virus (HCV) RNA dependent RNA polymerase at a concn. of 10 .mu.M.

IT 942291-47-6P 942291-53-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of proline amides for treating Flaviviridae family virus infection)

RN 942291-47-6 CAPLUS

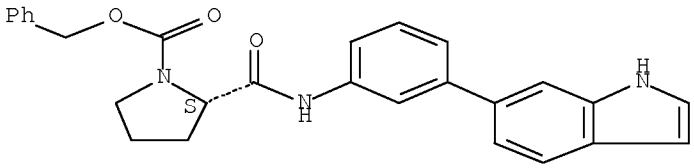
CN 1-Pyrrolidinecarboxylic acid, 2-[[[3-(2-methyl-5-benzothiazolyl)phenyl]amino]carbonyl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 942291-53-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[3-(1H-indol-6-yl)phenyl]amino]carbonyl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:606657 CAPLUS Full-text
DOCUMENT NUMBER: 145:83212
TITLE: Preparation of indolinones as antiproliferative agents
INVENTOR(S): McConnell, Darryl; Weyer-Czernilofsky, Ulrike; Impagnatiello, Maria; Steurer, Steffen; Brueckner, Ralph; Krist, Bernd; Betzemeier, Bodo; Hilberg, Frank; Heckel, Armin; Roth, Gerald Juergen; Kley, Joerg; Lehmann-Lintz, Thorsten
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma Gmbh & Co. K.-G.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006064044	A1	20060622	WO 2005-EP56821	20051215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

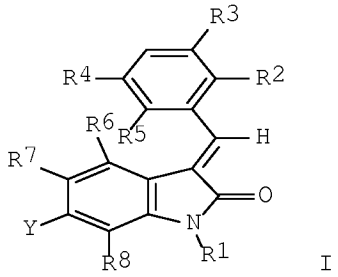
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM

CA 2589501	A1	20060622	CA 2005-2589501	20051215
EP 1828123	A1	20070905	EP 2005-817469	20051215
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008524165	T	20080710	JP 2007-546068	20051215
US 20060135592	A1	20060622	US 2005-303168	20051216
US 20070088051	A1	20070419	US 2006-558953	20061113
PRIORITY APPLN. INFO.:			EP 2004-29981 A	20041217
			WO 2005-EP56821 W	20051215
			US 2005-303168 B1	20051216

OTHER SOURCE(S): CASREACT 145:83212; MARPAT 145:83212

GI



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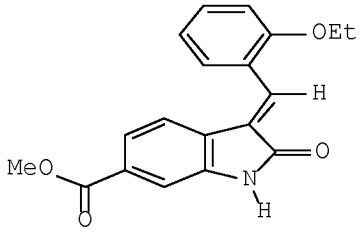


II

AB Indolinone compds. I [R1 = H, Me; R2-R5 = independently H, any group Y; R6-R8 = independently H, OH, SH, halo, CN, NH2, NHMe, NMe2, NO2, CF3, optionally substituted C1-4 alkoxy, C1-4 alkylthio, C1-6 alkyl; Y = cyano, isocyano, isothiocyanato, thiocyanato, HO, halo, NO2, SH, (CH2)xR, optionally substituted C1-6 alkyl, biaryl, carbocyclic aryl, heteroalicyclyl, heteroaryl; or R2-R3, R4-R5, R7-Y may form ring; R = CORa, C(:NH)NRaRb, CONRaRb, NRbCORa, NRaRb, NRaORb, CO2Ra, ORa, NHC(:NH)NHRa, CONORa, ONRaRb, O2CNRaRb, NRaCO2Rb, O2CRa, S(O)Ra, C(S)Ra, SRa, SO3R3, etc; Ra, Rb = independently H, optionally substituted C1-6 alkyl, cycloalkyl, heterocyclyl, aryl; x = 0-2; y = 1-3] are prepd. for the treatment of diseases characterized by excessive or abnormal cell proliferation and the use thereof for prepg. a pharmaceutical compn. Thus, condensation of Me 2-oxo-2,3-dihydroindole-6-carboxylate with 2-ethoxybenzaldehyde gave indolinone II.

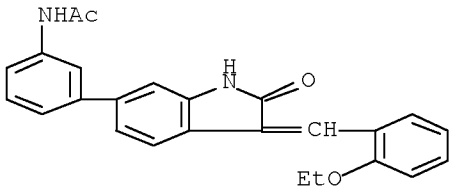
IT 893398-89-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indolinones as antiproliferative agents)

RN 893398-89-5 CAPLUS

CN Acetamide, N-[3-[3-[(2-ethoxyphenyl)methylene]-2,3-dihydro-2-oxo-1H-indol-6-yl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:469591 CAPLUS Full-text
DOCUMENT NUMBER: 144:488517
TITLE: Preparation of 6-substituted 2-oxo-3-(1H-pyrrol-2-ylmethylene)-2,3-dihydro-1H-indole derivatives and their compositions as protein kinase inhibitors
INVENTOR(S): Wan, Yongqin; Mi, Yuan; Fan, Yi; Cheng, Dai; Liu, Yi; Gray, Nathanael Schiander; Albaugh, Pamela A.
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006052936	A2	20060518	WO 2005-US40372	20051107
WO 2006052936	A3	20061026		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005304719	A1	20060518	AU 2005-304719	20051107
CA 2583737	A1	20060518	CA 2005-2583737	20051107
EP 1814545	A2	20070808	EP 2005-851419	20051107
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101056632	A	20071017	CN 2005-80038332	20051107
JP 2008519762	T	20080612	JP 2007-540153	20051107
BR 2005017968	A	20081021	BR 2005-17968	20051107
IN 2007DN03051	A	20070831	IN 2007-DN3051	20070424
MX 2007005547	A	20070705	MX 2007-5547	20070508
KR 2007084066	A	20070824	KR 2007-710439	20070508
US 20080221192	A1	20080911	US 2007-718886	20070508
NO 2007002887	A	20070803	NO 2007-2887	20070606

PRIORITY APPLN. INFO.: US 2004-626785P P 20041109
US 2005-709648P P 20050819
WO 2005-US40372 W 20051107

OTHER SOURCE(S): MARPAT 144:488517

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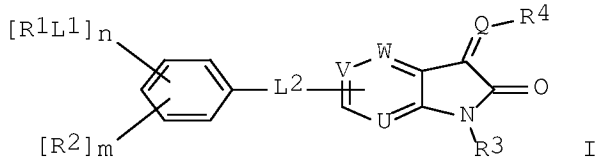

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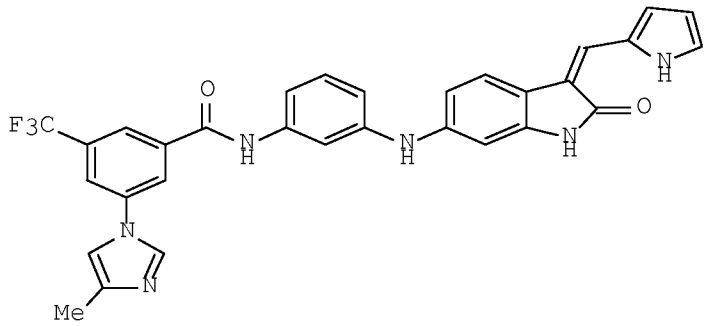


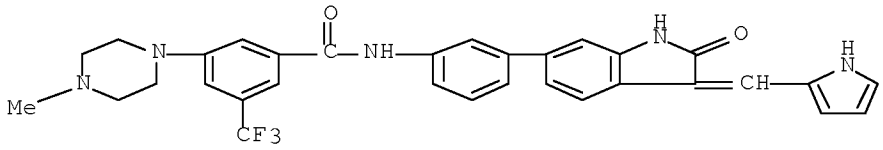
II

AB The invention is related to compds. I [U, V, W = independently CR5 and derivs., N; R5 = H, alkyl; Q = NR5, NNR5, NO, CR5; L1 = NR5CO, NR5CONR5, CONR5, NR5, etc.; L2 = a bond, O, NR5CO, NR5CONR5, CONR5, NR5; n = 0-1; m = 0-4; R1 = (un)substituted hetero/aryl, hetero/cycloalkyl; R2 = halo, NH2, NO2, CN, alkyl, alkoxy, etc.; R3 = H, alkyl; R4 = XR6, XNR5XR6, XOXR6, XNR5XNR5R6; each X = independently a bond, alkylene; R6 = (un)substituted hetero/aryl, hetero/cycloalkyl], and their pharmaceutically acceptable salts, hydrates, solvates, and isomers, useful for treating or preventing diseases or disorders assocd. with abnormal or deregulated kinase activity, particularly diseases or disorders that involve abnormal activation of the Abl, Bcr-Abl, cSrc, TPR-Met, Tie2, MET, FGFR3, Aurora, Axl, Bmx, BTK, c-kit, CHK2, Flt3, MST2, p70S6K, PDGFR, PKB, PKC, Raf, ROCK-II, Rsk1, SGK, TrkA, TrkB and TrkC kinases were prepd. and disclosed. E.g., a multi-step synthesis of indolone II, starting from 4-fluoro-3-nitroaniline and 3-nitrophenylboronic acid, was given. II had an IC50 of 14 nM, 15 nM, 116 nM and 53 nM for TrkB, Aurora-A, c-Raf and c-Src, resp. Pharmaceutical compns. comprising compds. I are disclosed.

IT 887398-73-4P, 3-(4-Methylpiperazin-1-yl)-N-[3-[2-oxo-3-[(1H-pyrrol-2-yl)methylene]-2,3-dihydro-1H-indol-6-yl]phenyl]-5-trifluoromethylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 2-oxo-3-(1H-pyrrol-2-ylmethylene)-2,3-dihydro-1H-indole derivs. as protein kinase inhibitors)

RN 887398-73-4 CAPLUS

CN Benzamide, N-[3-[2,3-dihydro-2-oxo-3-(1H-pyrrol-2-ylmethylene)-1H-indol-6-yl]phenyl]-3-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:149229 CAPLUS Full-text
DOCUMENT NUMBER: 144:233084
TITLE: Trifluoromethyl-substituted benzamides as kinase inhibitors and their preparation, pharmaceutical compositions, and use for treatment of proliferative diseases
INVENTOR(S): Caravatti, Giorgio; Furet, Pascal; Imbach, Patricia; Martiny-Baron, Georg; Perez, Lawrence Blas; Sheng, Tao
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015859	A1	20060216	WO 2005-EP8695	20050810
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005270313	A1	20060216	AU 2005-270313	20050810
CA 2575316	A1	20060216	CA 2005-2575316	20050810
US 20060035897	A1	20060216	US 2005-201348	20050810
EP 1778640	A1	20070502	EP 2005-777531	20050810
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
CN 101039914	A	20070919	CN 2005-80034662	20050810
JP 2008509187	T	20080327	JP 2007-525252	20050810
BR 2005014288	A	20080610	BR 2005-14288	20050810
IN 2007DN00848	A	20070803	IN 2007-DN848	20070131
MX 2007001642	A	20070410	MX 2007-1642	20070209
KR 2007046851	A	20070503	KR 2007-703238	20070209
NO 2007001300	A	20070419	NO 2007-1300	20070309
US 20080096883	A1	20080424	US 2007-573235	20070323

PRIORITY APPLN. INFO.: GB 2004-17905 A 20040811
WO 2005-EP8695 W 20050810

OTHER SOURCE(S): MARPAT 144:233084

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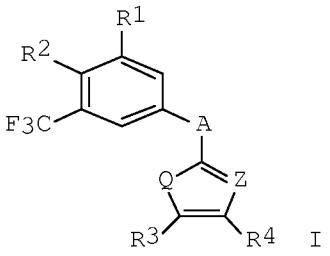

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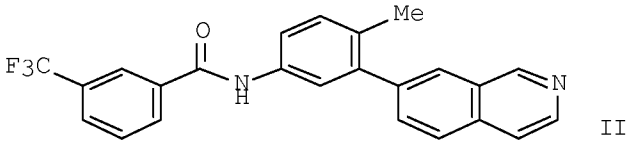


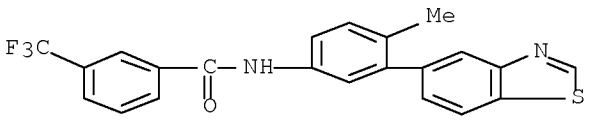
II

AB The invention relates to trifluoromethyl substituted benzamide compds. of the formula I, pharmaceuticals comprising these compds., their use as or for the manuf. of pharmaceuticals, particularly as inhibitors of protein kinases and/or the treatment of a condition, disorder or disease state mediated by a protein kinase activity and/or a proliferative disease, methods of treatment comprising administering the compds., esp. of therapeutic and prophylactic treatment, methods for the manuf. of the compds. and intermediates and partial steps for their synthesis. Compds. of formula I wherein R1 and R2 are independently H or NR6R7; each R6 and R7 is alkyl; R6R7 together with the nitrogen may form a 5- to 7-membered heterocyclic ring, etc.; R3 is halo or C1-7 alkyl; R4 is (amino)isoquinolinyl, quinazolinyl, phthalazinyl, quinoxalinyl, benzothiazolyl, or (un)substituted benzopyrazolyl; A is C(O)NH with NH bound to the ring contg. Q and Z; Z is CH or N; Q is S or CH=CH; or a pharmaceutically acceptable salt thereof are claimed in this invention. Example compd. II was prepd. by amidation of 3-trifluoromethylbenzoyl chloride with 3-bromo-4-methylaniline, and the resulting 3'-bromobenzanilide was reacted with bis(pinacolato)diboron to give the corresponding arylboronate, which underwent coupling with trifluoromethanesulfonic acid isoquinolin-7-yl ester to give compd. II. The compds. of this invention were evaluated for their protein kinase inhibitory activity (no data).

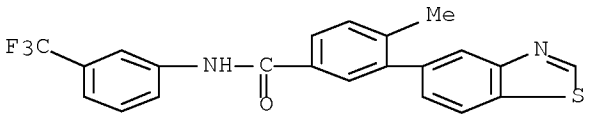
IT 876322-39-3P, N-(3-(Benzothiazol-5-yl)-4-methylphenyl)-3-trifluoromethylbenzamide 876322-40-6P, 3-(Benzothiazol-5-yl)-4-methyl-N-(3-trifluoromethylphenyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of trifluoromethyl substituted benzamides as kinase inhibitors and their use for treatment of proliferative diseases)

RN 876322-39-3 CAPLUS

CN Benzamide, N-[3-(5-benzothiazolyl)-4-methylphenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



RN 876322-40-6 CAPLUS
CN Benzamide, 3-(5-benzothiazolyl)-4-methyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:55229 CAPLUS Full-text
DOCUMENT NUMBER: 142:134457
TITLE: Preparation of substituted indoles as inhibitors of microsomal PGE synthase-1 for the treatment of inflammation
INVENTOR(S): Olofsson, Kristofer; Suna, Edgars; Pelcman, Benjamin; Ozola, Vita; Katkevics, Martins; Kalvins, Ivars
PATENT ASSIGNEE(S): Biolipox AB, Swed.; McNeeney, Stephen Phillip; Schaal, Wesley
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005415	A1	20050120	WO 2004-GB2996	20040709
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2528626	A1	20050120	CA 2004-2528626	20040709
EP 1646624	A1	20060419	EP 2004-743337	20040709
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

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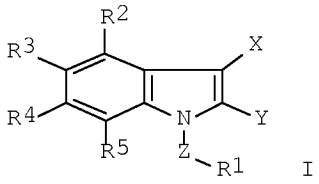
JP 2007516203	T	20070621	JP 2006-518373		20040709
US 20060160879	A1	20060720	US 2006-563464		20060214
PRIORITY APPLN. INFO.:			SE 2003-2035	A	20030709
			US 2003-485390P	P	20030709
			WO 2004-GB2996	W	20040709

OTHER SOURCE(S): CASREACT 142:134457; MARPAT 142:134457

GI



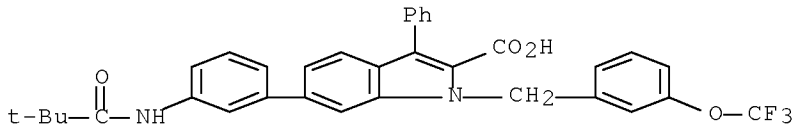
I

AB Title compds. I [X = (hetero)aryl, amide, etc.; Y = carboxylic acid, carboxylic acid ester, etc.; Z = spacer group; R1 = substituted (hetero)aryl; R2-5 = (hetero)aryl] are prepd. For instance, 6-(4-tert-Butylphenyl)-1-(3-phenoxybenzyl)-3-phenylindole-2-carboxylic acid (II) is prepd. in 5 steps from 6-bromoindole-2-carboxylic acid Et ester, 4-(tert-butyl)benzeneboronic acid, 3-phenoxybenzyl chloride and benzeneboronic acid. Example compds. inhibit the activity of microsomal prostaglandin E synthase-1; II showed 96% inhibition at 10M. Example compds. are useful in the treatment of inflammation.

IT 825623-74-3P, 6-[3-(2,2-Dimethylpropionylamino)phenyl]-3-phenyl-1-[3-(trifluoromethoxy)benzyl]indole-2-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted indoles for the treatment of inflammation)

RN 825623-74-3 CAPLUS

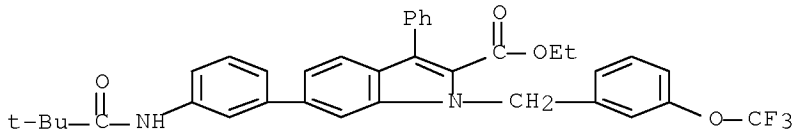
CN 1H-Indole-2-carboxylic acid, 6-[3-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]-3-phenyl-1-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



IT 825623-75-4P, 6-[3-(2,2-Dimethylpropionylamino)phenyl]-3-phenyl-1-[3-(trifluoromethoxy)benzyl]indole-2-carboxylic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted indoles for the treatment of inflammation)

RN 825623-75-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 6-[3-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]-3-phenyl-1-[[3-(trifluoromethoxy)phenyl]methyl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:772609 CAPLUS Full-text
DOCUMENT NUMBER: 133:335157
TITLE: Benzopyrrolone derivatives and related compounds as inhibitors of c-jun n-terminal kinases (JNK)
INVENTOR(S): Salituro, Francesco Gerald; Bemis, Guy W.; Wilke, Susanne; Green, Jeremy; Cao, Jingrong; Gao, Huai; Harrington, Edmund Martin
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000064872	A1	20001102	WO 2000-US10866		20000421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1175399	A1	20020130	EP 2000-926272		20000421
EP 1175399	B1	20090311			
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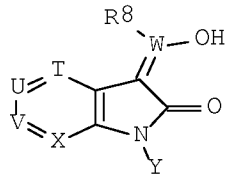
AT 425142	T	20090315	AT 2000-926272		20000421
US 20030153560	A1	20030814	US 2001-35823		20011023
US 20080033022	A1	20080207	US 2007-729420		20070328
PRIORITY APPLN. INFO.:			US 1999-130752P	P	19990423
			WO 2000-US10866	W	20000421
			US 2001-35823	B1	20011023

OTHER SOURCE(S): MARPAT 133:335157
GI



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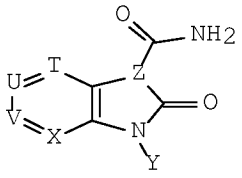

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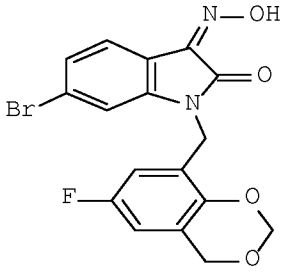


III

AB Benzopyrrolone derivs. and related compds. I (T = N or CR1; U = N or CR2; V = N or CR3; X = N or CR4; Y = CH2Q1, COQ1, CONHQ1, CO2Q1, SO2Q1 or SO2NHQ1 {where Q1 = (un)substituted C1-6alkyl, C1-6alkenyl, (non)arom. 5-7 membered ring or 9-14 membered bicyclic or tricyclic (non)arom. carbocyclic or heterocyclic ring system}; W = N or C {wherein when W = N, R8 = lone pair of electrons and when W = C, R8 = R7} ; R1 = NHR5, OR5, SR5, R5 {R5 = H, N(R)2, NHOH, NO2, CO2R, halo, (un)substituted C1-6alkyl, C1-6alkenyl, (non)arom. 5-7 membered ring or 9-14 membered bicyclic or tricyclic (non)arom. carbocyclic or heterocyclic ring system [R = C1-6alkyl, C1-6alkenyl, (non)arom. 5-7 membered ring or 9-10 membered bicyclic (non)arom. carbocyclic or heterocyclic ring system]}; R2, R3 or R4 = CONH2, CONHR, CON(R)2, NHR5, NHCH2R5, OR5, SR5, etc.; R7 = H, C1-6alkyl, C1-6alkenyl, (non)arom. 5-7 membered ring or 9-14 membered bicyclic (non)arom. carbocyclic or heterocyclic ring system) and II (Z = CH or N) or a pharmaceutically acceptable deriv. or prodrug thereof, are disclosed as inhibitors of JNK, a mammalian protein kinase involved in cell proliferation, cell death, and response to extracellular stimuli. The invention also relates to methods for producing these inhibitors. Thus, benzopyrrolone III was prepd. in seven steps with pyrrolone ring formation via reductive cyclization. The invention also provides pharmaceutical compns. comprising the inhibitors of the invention and methods of utilizing those compns. in the treatment and prevention of various disorders, e.g., inflammatory diseases, autoimmune diseases, destructive bone disorders, proliferative disorders and neurodegenerative diseases. Exemplary compds. I had Ki values of < 1 .mu.M for inhibition of JNK3 in vitro.

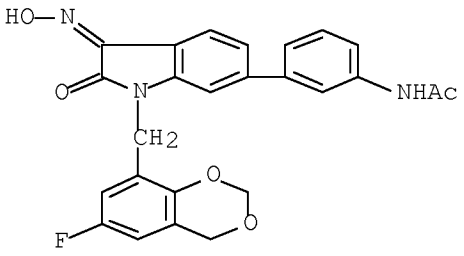
IT 303743-15-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn of benzopyrrolone derivs. and related compds. as inhibitors of c-jun n-terminal kinases (JNK))

RN 303743-15-9 CAPLUS

CN Acetamide, N-[3-[1-[(6-fluoro-4H-1,3-benzodioxin-8-yl)methyl]-2,3-dihydro-3-(hydroxyimino)-2-oxo-1H-indol-6-yl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:626172 CAPLUS Full-text
DOCUMENT NUMBER: 131:257441
TITLE: Heterocyclic families of compounds [tricyclic-based indolinones and pyrazolecarboxylic acid amides] for the modulation of tyrosine protein kinase
INVENTOR(S): Fong, Annie; Hannah, Alison; Harris, David G.; Hirth, Peter; Hubbard, Steven R.; Langecker, Peter; Liang, Congxin; McMahon, Gerald; Mohammadi, Moosa; Schlessinger, Joseph; Shawver, Laura K.; Sun, Li; Tang, Peng C.; Ullrich, Axel
PATENT ASSIGNEE(S): Sugen, Inc., USA; New York University; Max-Planck Institut fur Biochemie
SOURCE: PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9948868	A2	19990930	WO 1999-US6468		19990326
WO 9948868	A3	20000224			
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2325935	A1	19990930	CA 1999-2325935		19990326
AU 9933635	A	19991018	AU 1999-33635		19990326
EP 1066257	A2	20010110	EP 1999-915018		19990326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002507598	T	20020312	JP 2000-537851		19990326
US 6514981	B1	20030204	US 1999-283657		19990401
US 20030203901	A1	20031030	US 2002-302932		20021125
PRIORITY APPLN. INFO.:			US 1998-79713P	P	19980326
			US 1998-80422P	P	19980402
			US 1998-81792P	P	19980415
			US 1998-82056P	P	19980416

US 1998-89397P	P	19980615
US 1998-89521P	P	19980616
US 1998-98783P	P	19980901
WO 1999-US6468	W	19990326
US 1999-283657	A3	19990401

OTHER SOURCE(S): MARPAT 131:257441
GI

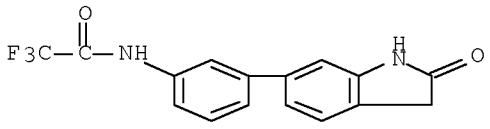
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to certain indolinone-based and pyrazolylamide-based compds., I and II, their method of synthesis, and combinatorial libraries consisting of the compds. [wherein AB = atoms to make up 1-2 fused and/or connected rings; R = arom. or heteroarom. ring which may form an addnl. ring by cyclization to the methylene group; R1, R2 = H, alkyl, (hetero)aryl or -aliph. ring, amino, NO2, halo, etc.; R3 = (un)substituted Ph; Z = (un)substituted (CH2)0-3; R4, R5 = H, alkyl, (hetero)aryl or -aliph., amine, ketone, etc.]. The invention also relates to methods of modulating the function of protein kinases using these compds., and methods of treating diseases by modulating the function of protein kinases and related signal transduction pathways. Data for prepns. and/or biol. activity are given, as well as the prepns. of various oxindole intermediates. For instance, the pyrazolecarboxamide deriv. III gave up to 70% inhibition of growth of Calu-6 human lung carcinoma cells as a xenograft in mice. As another example, the indolinone deriv. IV was prepd. by condensation of 6-(4-methoxyphenyl)-2-oxindole with 3,5-dimethyl-1H-pyrrole-2-carboxaldehyde in the presence of piperidine. Extensive tests of a few selected compds. against a variety of protein kinases are described.

IT 245035-82-9P, 6-[3-(Trifluoroacetamido)phenyl]-2-oxindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrazolecarboxylic acid amides and (arylmethylene)indolinones as protein tyrosine kinase modulators)

RN 245035-82-9 CAPLUS

CN Acetamide, N-[3-(2,3-dihydro-2-oxo-1H-indol-6-yl)phenyl]-2,2,2-trifluoro- (CA INDEX NAME)



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Executing the logoff script...

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COST IN U.S. DOLLARS SINCE FILE TOTAL

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FULL ESTIMATED COST	91.74	528.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.12	-13.12

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 12:49:29 ON 26 MAY 2009